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=>

=> s l1
SAMPLE SEARCH INITIATED 15:16:11 FILE 'MARPAT'
SAMPLE SCREEN SEARCH COMPLETED -      542 TO ITERATE

100.0% PROCESSED      542 ITERATIONS                          42 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         9466 TO    12214
PROJECTED ANSWERS:             451 TO     1229

L2          42 SEA SSS SAM L1

=> d scan

L2   42 ANSWERS   MARPAT  COPYRIGHT 2007 ACS on STN
IC   C07D487-04; C07D519-00; A61K031-55; C07D487-00; C07D235-00; C07D223-00;
     C07D519-00; C07D513-00; C07D487-00; C07D519-00
CC   28-9 (Heterocyclic Compounds (More Than One Hetero Atom))
     Section cross-reference(s): 1
TI   Preparation of imidazo[2,1-b][3]benzazepine derivatives as antiallergy
     agents
ST   imidazobenzazepine prepn antiallergy
IT   Allergy inhibitors
        (imidazobenzazepine derivs.)
IT   142654-71-5P   147064-14-0P   147064-15-1P   147064-16-2P   147064-17-3P
     147064-18-4P   147082-99-3P   147083-00-9P   147083-01-0P   147083-02-1P
     147083-03-2P   147083-04-3P   147083-05-4P   147083-06-5P   147083-07-6P
     147083-08-7P   147083-09-8P   147083-10-1P   147083-11-2P   147083-12-3P
     147083-13-4P   147083-14-5P   147083-15-6P   147083-16-7P   147083-17-8P
     147083-18-9P   147083-19-0P   147083-20-3P   147083-21-4P   147083-22-5P
     147083-23-6P   147083-24-7P   147083-25-8P   147083-26-9P   147083-27-0P
     147083-28-1P   147083-29-2P   147083-30-5P   147083-31-6P   147083-32-7P
     147083-33-8P   147083-34-9P   147083-35-0P   147083-36-1P   147083-37-2P
     147083-38-3P   147083-39-4P   147083-40-7P   147083-41-8P   147083-42-9P
     147083-43-0P   147083-44-1P   147083-45-2P   147083-46-3P   147083-47-4P
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     147083-78-1P   147083-79-2P   147083-80-5P   147083-81-6P   147083-82-7P
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     147581-74-6P   147581-76-8P   147581-78-0P   147581-80-4P   147581-82-6P
     147581-84-8P   147581-86-0P   147581-88-2P   147581-90-6P   147581-92-8P
     147581-94-0P   147581-96-2P   147581-97-3P   147581-99-5P   147582-01-2P
     147582-03-4P   147582-05-6P   147582-07-8P   147582-09-0P   147582-11-4P
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     147608-81-9P   147608-83-1P   147608-85-3P   147608-87-5P   147608-89-7P
     147690-84-4P   147690-85-5P
```

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antiallergy agent)
IT 147084-09-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as imidazobenzazepine antiallergy agent)
IT 3103-60-4P, 11H-Imidazo[2,1-b][3]benzazepine 49823-14-5P 101615-41-2P
114772-38-2P 146800-85-3P 147064-11-7P 147064-12-8P 147064-13-9P
147064-19-5P 147082-77-7P 147082-78-8P 147082-79-9P 147082-80-2P
147082-81-3P 147082-82-4P 147082-83-5P 147082-84-6P 147082-85-7P
147082-86-8P 147082-87-9P 147082-88-0P 147082-89-1P 147082-90-4P,
11H-Imidazo[2,1-b][3]benzazepin-11-one 147082-91-5P 147082-92-6P
147082-93-7P 147082-94-8P 147082-95-9P 147082-96-0P 147082-97-1P
147082-98-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate in preparation of imidazobenzazepine antiallergy
agents)
IT 54-96-6, 3,4-Pyridinediamine 60-56-0 67-64-1, 2-Propanone, reactions
75-15-0, Carbon disulfide, reactions 75-21-8, Oxirane, reactions
100-69-6 106-95-6, 3-Bromo-1-propene, reactions 141-90-2 488-93-7,
3-Furancarboxylic acid 624-83-9, Isocyanatomethane 1071-46-1
1722-12-9, 2-Chloropyrimidine 9002-81-7, Polyoxymethylene 91368-86-4
99960-02-8 147084-10-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of antiallergy agents)
IT 50-00-0, Formaldehyde, reactions 96-09-3 103-63-9,
2-(Bromoethyl)benzene 107-14-2, Chloroacetonitrile 128-08-5
288-32-4, 1H-Imidazole, reactions 456-47-3 503-60-6 541-41-3, Ethyl
chloroformate 563-47-3, 3-Chloro-2-methyl-1-propene 822-36-6,
4-Methylimidazole 2508-01-2, 3-(2-Chloroethyl)-2-oxazolidinone 3892-90
-8, 1H-3-Benzazepin-2-amine 5570-77-4, 4-Chloro-1-methylpiperidine
22483-09-6, 2,2-Dimethoxyethanamine 24252-37-7, Ethyl
1-methyl-4-piperidinecarboxylate 61278-81-7 66865-86-9 73004-96-3
86488-00-8 114772-34-8 147084-07-9 147084-08-0 147582-63-6
147582-64-7 147582-65-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of imidazobenzazepine antiallergy agents)

MSTR 11A

G27—G30

G27 = NH2
G30 = thiazolyl (opt. substd. by (1-2)
alkyl <containing 1-4 C>)
Patent location: claim 10

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	3.15	4.26

FILE 'CAPLUS' ENTERED AT 15:17:23 ON 09 JUL 2007

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FILE LAST UPDATED: 8 Jul 2007 (20070708/ED)

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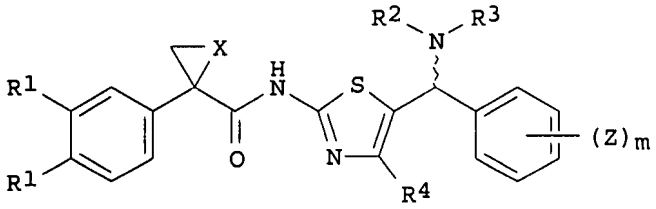
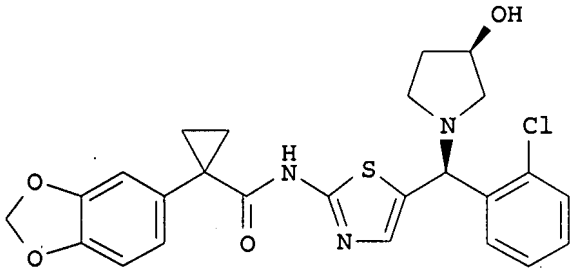
=> s l2
L3 42 L2

=> d ti au abs so py 1-10

L3 ANSWER 1 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN
TI 2-Aminothiazole derivatives as modulators of cystic fibrosis transmembrane conductance regulator and their preparation, pharmaceutical compositions and use in the treatment of CFTR-mediated diseases
IN Hadida, Ruah Sara; Vangoor, Frederick F.; Miller, Mark T.; McCartney, Jason; Arumugam, Vijayalaksmi
GI



I



II

AB The invention relates to 2-aminothiazole derivs. of formula I as modulators of cystic fibrosis Transmembrane Conductance Regulator ("CFTR"), compns. thereof, and methods therewith. The invention also relates to methods of treating CFTR mediated diseases using such modulators. Compds. of formula I wherein each R1 is H, halo, CF3, C1-4 alkyl, and O-C1-4 alkyl, etc.; provided that both R1 are not H; R2 and R3 are taken together with N to give (un)substituted pyrrolidine; R4 is H and C1-6 alkyl; Z is an electron withdrawing substituent; m is 0 - 3; X is (CH2)n; n is 1 - 5; and their pharmaceutically acceptable salts and

enantiomers thereof, are claimed. Example compound II•HCl was prepared by a multistep procedure (procedure given). All the invention compds. were evaluated for their CFTR correction activity. From the assay, it was determined that compound II exhibited EC50 value of < 2 μM and >100 efficacy.

SO PCT Int. Appl., 124pp.
CODEN: PIXXD2

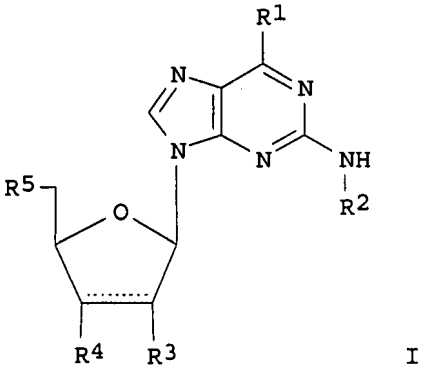
PY 2007
2007

L3 ANSWER 2 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN

TI Improvements to analogs compounds of 6-thioguanosine triphosphate, their use in medical fields and processes for their preparation

IN Naccari, Giancarlo; Baroni, Sergio

GI



I

AB The invention relates to analogous compds. of 6-thioguanosine triphosphate I, wherein the dashed bond in the sugar moiety can be either single or double; wherein R1-R5 , equal or different between each other, have general formula -(Int)m-Ter, wherein m is between 0 and 12 and Int and Ter are internal and terminal building blocks. The invention also concerns the uses of the above mentioned compds. in medical field and the process for their preparation Thus, I [R1 = SH, R2 = H, de-localized bond is replaced by H atoms, R3 and R4 are independently one is H and the other is CONH(CH2)2NH2, R5 is O-triphosphate] was claimed as immunosuppressive drugs (no data) .

SO PCT Int. Appl., 132pp.
CODEN: PIXXD2

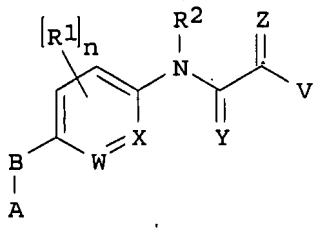
PY 2007

L3 ANSWER 3 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN

TI Preparation of oxalamide derivatives as kinase inhibitors for treating cancer

IN Borzilleri, Robert M.; Schroeder, Gretchen M.; Cornelius, Lyndon A. M.

GI



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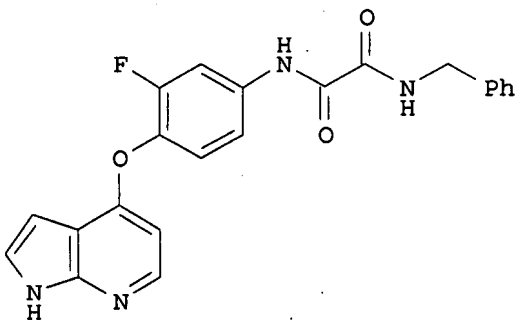


II

AB The title compds. I [R1 = H, halo, CN, etc.; R2 = H, (un)substituted alkyl or cycloalkyl; B = O, S, SO, SO2, (un)substituted NH or CH2; W and X = CH or N; Y and Z = O or S, but Y and Z cannot both be S; n = 0-4; V = (un)substituted NH2 or N-containing heterocycle; A = pyridopyridyl, pyridyl, etc.], useful for the treatment of proliferative diseases, were prepared E.g., a multi-step synthesis of II, starting from 4-chloro-1H-pyrrolo[2,3-b]pyridine and 2-fluoro-4-nitrophenol, was given. Pharmaceutical composition comprising the compound I is disclosed.

SO U.S. Pat. Appl. Publ., 17pp.
CODEN: USXXCO

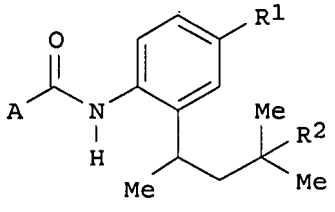
PY 2006

L3 ANSWER 4 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN

TI Preparation of pyrazole-4-carboxamides as fungicides

IN Straub, Alexander

GI



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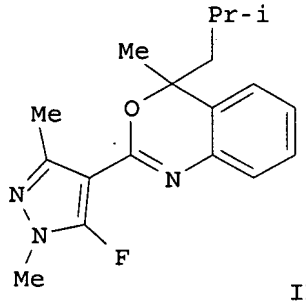
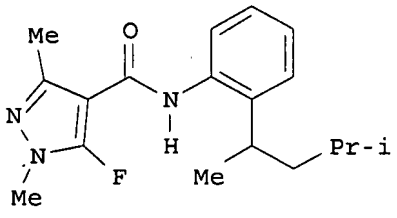


II



III

AB Title compds. I [R1 = H, F; R2 = H, halo, alkyl, etc.; A = (un)subsituted pyrazoles, thiofurans, etc.] were prepared For example, H2-Pd/C mediated reduction of benzoxazine II afforded III in 82% yield.

SO Ger. Offen., 22pp.
CODEN: GWXXBX

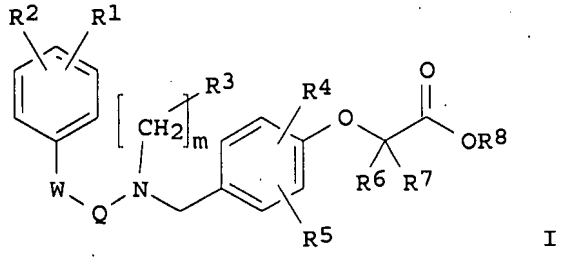
PY 2006
2006
2006

L3 ANSWER 5 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN

TI Preparation of phenoxyacetic acid compounds containing furan moiety as peroxisome proliferator activation receptor (PPAR) α/γ agonists

IN Yamaguchi, Michihiro; Mochizuki, Akiyoshi; Kagechika, Katsuji; Usui, Hiroyuki

GI



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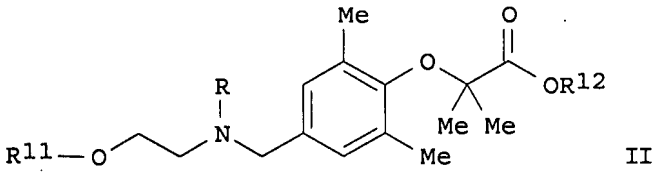


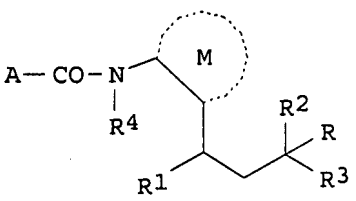
II

AB Title compds. I [R1, R2 = H, hydroxy, halo, etc.; R3 = alkoxy, (un)substituted carbamoyl, (un)substituted aromatic heterocycle; R4, R5 = H, hydroxy, halo, etc.; R6, R7 = H, alkyl; R6 and R7 together with the carbon atom to they bonded may combine to form a saturated aliphatic cycle; R8 = H, alkyl; W = -O-, -CO-, -NR9-; R9 = H, alkyl; Q = -(CH2)n-; when W is -CO-, n = 1-5 and when W = O or NR9, n = 2-5; m = 1-3; when R3 is alkoxy, m = 2, 3], salts or solvates thereof were prepared For example, reductive amination of compound II [R = H; R11 = H; R12 = ethyl], e.g., prepared from 2-(4-formyl-2,6-dimethylphenoxy)-2-methylpropanoic acid Et ester, with furfural followed by DIAD mediated substitution reaction with 4-methoxyphenol and hydrolysis using aqueous NaOH afforded compound II [R = furan-2-ylmethyl; R11 = 4-methoxyphenyl; R12 = H]. In GAL4-hPPAR transactivation assays, compound II [R = furan-2-ylmethyl; R11 = 4-methoxyphenyl; R12 = H] exhibited the EC50 values of 0.010 and 0.043 μM for α and γ receptor, resp. Compds. I are claimed useful for the treatment of insulin resistance-related diseases.

SO Jpn. Kokai Tokkyo Koho, 48pp.
CODEN: JKXXAF

PY 2006

L3 ANSWER 6 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN
TI Preparation of benzanilides and related compounds as microbicides
IN Dunkel, Ralf; Elbe, Hans-Ludwig; Hartmann, Benoit; Greul, Joerg Nico; Ilg, Kerstin; Wachendorff-Neumann, Ulrike; Dahmen, Peter; Kuck, Karl-Heinz
GI



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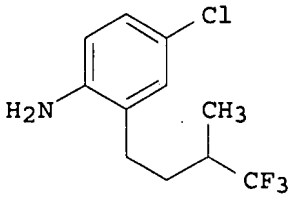
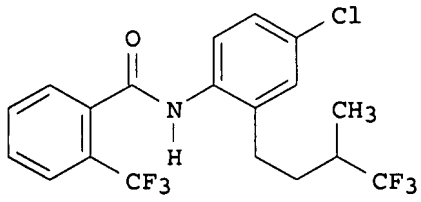


II



III

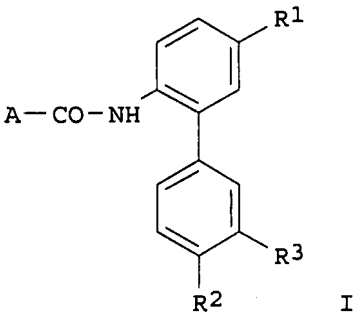
AB Title compds. I [R = H, halo; R1 = H, CH3; R2 = CH3, CH2CH3, haloalkyl, etc.; R3 = halo, haloalkyl, etc.; R4 = H, alkyl, alkylsulfinyl, etc.; M = (un)substituted Ph, pyridinyl, pyrimidinyl, etc.] were prepared For example, N-acylation of phenylamine II with 2-(trifluoromethyl)benzoyl chloride afforded benzanilide III 99% yield. In apple venturia inaequalis protection assays, 6-examples of compds. I at 100 g/ha (sic), exhibited 93-100% protection after 10-days.
SO PCT Int. Appl., 91 pp.
CODEN: PIXXD2
PY 2005
2005
2005
2006
2007

L3 ANSWER 7 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN
TI Thiazole derivatives to counter advanced glycation
IN Hines, Michelle D.; Jones, Brian C.
AB Methods are disclosed for improving the appearance of skin by topical administration of thiazole derivs. which inhibit the formation of advanced glycation endproducts, break advanced glycation endproduct-associated crosslinks, and inhibit the function of glucose oxidase. Cosmetic and pharmaceutical compns. comprising the thiazole derivs. are also disclosed.
SO Eur. Pat. Appl., 11 pp.
CODEN: EPXXDW
PY 2005
2005
2005
2005
2005
2005
2005
2005
2005

L3 ANSWER 8 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN
TI Synergistic fungicidal combinations comprising carboxamide derivatives
IN Wachendorff-Neumann, Ulrike; Dahmen, Peter; Dunkel, Ralf; Elbe,

Hans-Ludwig; Suty-Heinze, Anne; Rieck, Heiko

GI



I

AB Synergistic fungicidal mixts. comprise a carboxamide derivative I [R1= H or F; R2 = halo, (halo)alkyl or (halo)alkoxy; , R3 = H, halo or (halo)alkyl; A = (un)substituted Ph, imidazolyl, thiazolyl, etc.] and any of 22 groups of known fungicides.

SO PCT Int. Appl., 141 pp.
CODEN: PIXXD2

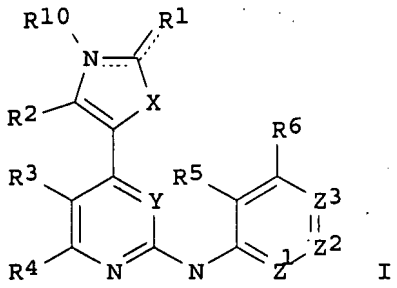
PY 2005
2005
2007
2005
2005
2006
2006
2006
2007

L3 ANSWER 9 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN

TI Preparation of pyridinylaminopyrimidine derivatives as protein kinase inhibitors

IN Wang, Shudong; Meades, Christopher; Gibson, Darren; Fischer, Peter

GI



I

AB Title compds. I [R1 = O; R2, R5-6 = R7; R10 = H, alkyl; X = S, O, (un)substituted amino; Y = N, (un)substituted alkyl; one of Z1-3 = amino, ammonium or (un)substituted alkyl; R7 = H, halo, amino, alkoxy, etc.] are prepared For instance, [4-(2,4-Dimethylthiazol-5-yl)pyrimidin-2-yl][pyridin-3-yl]amine (II) is prepared from 3-dimethylamino-1-(2,4-dimethylthiazol-5-yl)propenone and N-(pyridin-3-yl)guanidine (2-methoxyethanol, reflux, 18 h) in 24% yield. II has Ki = 0.11 μM for CDK2/cyclin E. I are useful in the treatment of proliferative, viral, and CNS disorders as well as for the treatment of strokes, alopecia and/or diabetes.

SO PCT Int. Appl., 70 pp.

CODEN: PIXXD2
PY 2005
'2005
2005
2006
2006
2006
2007
2006

L3 ANSWER 10 OF 42 CAPLUS COPYRIGHT 2007 ACS on STN
TI Process for making substituted thiazolyl-amino pyridines
IN Zhao, Matthew M.; Yin, Jingjun
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention relates to a process for preparing substituted thiazolyl-amino pyridines (I) [R = H, each (un)substituted C1-10 alkyl or aryl; R1 = CONHR3; R2 = H, OH, C1-6 alkoxy, C1-6 alkyl, halo; R3 = C1-6 alkyl] which are capable of inhibiting, modulating and/or regulating signal transduction of both receptor-type and non-receptor type tyrosine kinases and may be used to treat tyrosine kinase-dependent diseases and conditions, such as angiogenesis, cancer, tumor growth, atherosclerosis, age related macular degeneration, diabetic retinopathy, or inflammatory diseases in mammals. The above process comprises (a) preparing a slurry of 2-aminothiazole-5-carbonitrile (II) (where R is defined above), 2-halopyridine-4-carbaldehyde (III) (where X = a halo; R2 is defined above) and a base in a solvent, (b) adding a palladium catalyst and a bisphosphine ligand to the slurry to produce a coupling product of 2-[(4-formyl-2-pyridyl)amino]thiazole-5-nitrile (IV), (c) adding a piperazine-urea of formula (V) (R3 is defined above) to the coupling product of formula IV; and (d) completing a reductive amination to produce the compound of formula I. Thus, in a 2-3 kg scale reaction, 2-chloro-4-formylpyridine was coupled with 2-aminothiazole in the presence of Pd(dba)3, 9,9-dimethyl-4,5-bis(diphenylphosphino)xanthene, and K3PO4 in toluene-water at 90° for 8 h to give 97% 2-[(4-formyl-2-pyridyl)amino]thiazole-5-nitrile which underwent reductive coupling with N-(methylaminocarbonyl)piperazine hydrochloride using NaBH(OAc)2 in the presence of Et3N and AcOH in N,N-dimethylacetamide for a total of 260 min to give 80.4% the title compound (VI). The compds. I inhibited VEGF-stimulated mitogenesis of human vascular endothelial cells in culture with IC50 values between 0.01-5.0 μM.
SO U.S. Pat. Appl. Publ., 18 pp.
CODEN: USXXCO
PY 2004

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FILE 'MARPAT' ENTERED AT 15:13:14 ON 09 JUL 2007
L2 42 S L1

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L3 42 S L2

=> d ti au so py 1-10 l2
YOU HAVE REQUESTED DATA FROM FILE 'MARPAT' - CONTINUE? (Y)/N:y

L2 ANSWER 1 OF 42 MARPAT COPYRIGHT 2007 ACS on STN
TI 2-Aminothiazole derivatives as modulators of cystic fibrosis transmembrane conductance regulator and their preparation, pharmaceutical compositions and use in the treatment of CFTR-mediated diseases
IN Hadida, Ruah Sara; Vangoor, Frederick F.; Miller, Mark T.; McCartney, Jason; Arumugam, Vijayalaksmi
SO PCT Int. Appl., 124pp.
CODEN: PIXXD2
PY 2007
2007

L2 ANSWER 2 OF 42 MARPAT COPYRIGHT 2007 ACS on STN
TI Improvements to analogs compounds of 6-thioguanosine triphosphate, their use in medical fields and processes for their preparation
IN Naccari, Giancarlo; Baroni, Sergio
SO PCT Int. Appl., 132pp.
CODEN: PIXXD2
PY 2007

L2 ANSWER 3 OF 42 MARPAT COPYRIGHT 2007 ACS on STN
TI Preparation of oxalamide derivatives as kinase inhibitors for treating cancer
IN Borzilleri, Robert M.; Schroeder, Gretchen M.; Cornelius, Lyndon A. M.
SO U.S. Pat. Appl. Publ., 17pp.
CODEN: USXXCO
PY 2006

L2 ANSWER 4 OF 42 MARPAT COPYRIGHT 2007 ACS on STN
TI Preparation of pyrazole-4-carboxamides as fungicides
IN Straub, Alexander
SO Ger. Offen., 22pp.
CODEN: GWXXBX
PY 2006
2006
2006

L2 ANSWER 5 OF 42 MARPAT COPYRIGHT 2007 ACS on STN
TI Preparation of phenoxyacetic acid compounds containing furan moiety as peroxisome proliferator activation receptor (PPAR) α/γ agonists
IN Yamaguchi, Michihiro; Mochizuki, Akiyoshi; Kagechika, Katsuji; Usui, Hiroyuki
SO Jpn. Kokai Tokkyo Koho, 48pp.
CODEN: JKXXAF
PY 2006

L2 ANSWER 6 OF 42 MARPAT COPYRIGHT 2007 ACS on STN
TI Preparation of benzanilides and related compounds as microbicides
IN Dunkel, Ralf; Elbe, Hans-Ludwig; Hartmann, Benoit; Greul, Joerg Nico; Ilg, Kerstin; Wachendorff-Neumann, Ulrike; Dahmen, Peter; Kuck, Karl-Heinz
SO PCT Int. Appl., 91 pp.
CODEN: PIXXD2
PY 2005
2005
2005
2006
2007

L2 ANSWER 7 OF 42 MARPAT COPYRIGHT 2007 ACS on STN

TI Thiazole derivatives to counter advanced glycation
IN Hines, Michelle D.; Jones, Brian C.
SO Eur. Pat. Appl., 11 pp.
    CODEN: EPXXDW
PY 2005
    2005
    2005
    2005
    2005
    2005
    2005
    2005

L2 ANSWER 8 OF 42 MARPAT COPYRIGHT 2007 ACS on STN
TI Synergistic fungicidal combinations comprising carboxamide derivatives
IN Wachendorff-Neumann, Ulrike; Dahmen, Peter; Dunkel, Ralf; Elbe,
    Hans-Ludwig; Suty-Heinze, Anne; Rieck, Heiko
SO PCT Int. Appl., 141 pp.
    CODEN: PIXXD2
PY 2005
    2005
    2007
    2005
    2005
    2006
    2006
    2006
    2007

L2 ANSWER 9 OF 42 MARPAT COPYRIGHT 2007 ACS on STN
TI Preparation of pyridinylaminopyrimidine derivatives as protein kinase
    inhibitors
IN Wang, Shudong; Meades, Christopher; Gibson, Darren; Fischer, Peter
SO PCT Int. Appl., 70 pp.
    CODEN: PIXXD2
PY 2005
    2005
    2005
    2006
    2006
    2006
    2007
    2006

L2 ANSWER 10 OF 42 MARPAT COPYRIGHT 2007 ACS on STN
TI Process for making substituted thiazolyl-amino pyridines
IN Zhao, Matthew M.; Yin, Jingjun
SO U.S. Pat. Appl. Publ., 18 pp.
    CODEN: USXXCO
PY 2004

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YOU HAVE REQUESTED DATA FROM FILE 'MARPAT' - CONTINUE? (Y)/N:y

L2 ANSWER 10 OF 42 MARPAT COPYRIGHT 2007 ACS on STN
TI Process for making substituted thiazolyl-amino pyridines
IN Zhao, Matthew M.; Yin, Jingjun
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention relates to a process for preparing substituted thiazolyl-amino pyridines (I) [R = H, each (un)substituted C1-10 alkyl or aryl; R1 = CONHR3; R2 = H, OH, C1-6 alkoxy, C1-6 alkyl, halo; R3 = C1-6 alkyl] which are capable of inhibiting, modulating and/or regulating signal transduction of both receptor-type and non-receptor type tyrosine kinases and may be used to treat tyrosine kinase-dependent diseases and conditions, such as angiogenesis, cancer, tumor growth, atherosclerosis, age related macular degeneration, diabetic retinopathy, or inflammatory diseases in mammals. The above process comprises (a) preparing a slurry of 2-aminothiazole-5-carbonitrile (II) (where R is defined above), 2-halopyridine-4-carbaldehyde (III) (where X = a halo; R2 is defined above) and a base in a solvent, (b) adding a palladium catalyst and a bisphosphine ligand to the slurry to produce a coupling product of 2-[(4-formyl-2-pyridyl)amino]thiazole-5-nitrile (IV), (c) adding a piperazine-urea of formula (V) (R3 is defined above) to the coupling product of formula IV; and (d) completing a reductive amination to produce the compound of formula I. Thus, in a 2-3 kg scale reaction, 2-chloro-4-formylpyridine was coupled with 2-aminothiazole in the presence of Pd(dba)3, 9,9-dimethyl-4,5-bis(diphenylphosphino)xanthene, and K3PO4 in toluene-water at 90° for 8 h to give 97% 2-[(4-formyl-2-pyridyl)amino]thiazole-5-nitrile which underwent reductive coupling with N-(methylaminocarbonyl)piperazine hydrochloride using NaBH(OAc)2 in the presence of Et3N and AcOH in N,N-dimethylacetamide for a total of 260 min to give 80.4% the title compound (VI). The compds. I inhibited VEGF-stimulated mitogenesis of human vascular endothelial cells in culture with IC50 values between 0.01-5.0 μM.

SO U.S. Pat. Appl. Publ., 18 pp.
CODEN: USXXCO

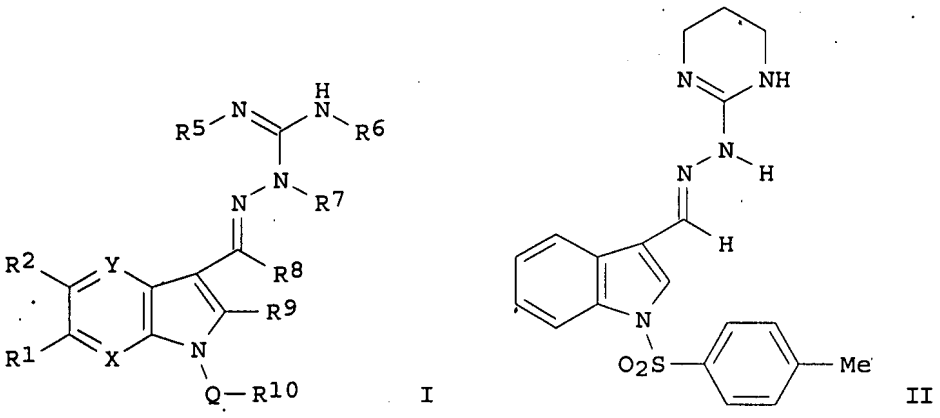
PY 2004

L2 ANSWER 11 OF 42 MARPAT COPYRIGHT 2007 ACS on STN

TI Preparation of indolylalkylidenehydrazine-carboximidamide derivatives as 5-hydroxytryptamine-6 ligands

IN Cole, Derek Cecil; Kelly, Michael Gerard; Nunn, David Scott; Greenblatt, Lynne Padilla

GI



AB The title compds. [I; X = N, CR3; Y = N, CR4; Q = SO2, CO, CO2, CONR11, CSNR12; R1-R4 = H, halo, CN, etc.; R5-R7 = H, alkyl, aryl, etc.; R8 = H, alkyl, cycloalkyl; R9 = H, halo, CN, etc.; R10 = alkyl, aryl, heteroaryl, 8-13 membered bicyclic or tricyclic ring; R11, R12 = H, alkyl, aryl, heteroaryl], useful for the therapeutic treatment of a disorder relating

to or affected by the 5-HT6 receptor, were prepared Thus, reacting 1-[(4-methylphenyl)sulfonyl]indole-3-carboxaldehyde with 2-hydrazine-1,4,5,6-tetrahydropyrimidine.HBr in the presence of concentrate HCl in iso-PrOH afforded 42% II which showed 56% inhibition of 5-HT6 binding at 1000 nM. Pharmaceutical composition comprising the compound I is claimed.

SO U.S. Pat. Appl. Publ., 28 pp.
CODEN: USXXCO

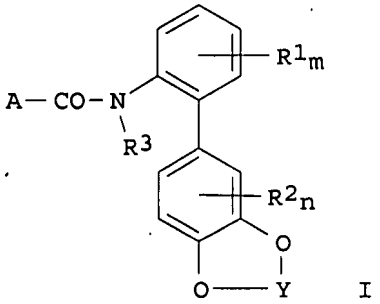
PY 2004
2005

L2 ANSWER 12 OF 42 MARPAT COPYRIGHT 2007 ACS on STN

TI Preparation of biphenylcarboxamide derivatives as agrochemical fungicides and bactericides

IN Dunkel, Ralf; Elbe, Hans-Ludwig; Rieck, Heiko; Markert, Robert; Wachendorff-Neumann, Ulrike; Mauler-Machnik, Astrid; Kuck, Karl-Heinz; Kugler, Martin; Jaetsch, Thomas

GI



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AB The biphenylcarboxamide derivs. I [R1, R2 = H, halo, CN, NO2, (halo)alkyl, (halo)alkoxy, etc.; m =1-4; n= 1-3; R3 = H, OH, (halo)alkyl, cycloalkyl, etc.; Y = CO or (un)substituted alkylene; A = (un)substituted heterocyclyl] are prepared as agrochem. fungicides and bactericides.

SO Ger. Offen., 62 pp.
CODEN: GWXXBX

PY 2003
2003
2003
2005
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2005
2005
2005
2007

L2 ANSWER 13 OF 42 MARPAT COPYRIGHT 2007 ACS on STN

TI Preparation of oligopeptide DNA minor groove-binding compounds

IN Khalaf, Abedawn; Waigh, Roger; Suckling, Colin

AB The invention relates to oligopeptide compds. which comprise (a) at least one nitrogen-containing basic group attached to at least one end of the oligopeptide and (b) two or more heterocyclic monomers, at least one of which is substituted in the heterocyclic part by an alkyl group, or their pharmaceutically-acceptable salts. Compds. of the invention were found to bind to the minor groove of DNA, as determined by melting temperature and other measurements. Thus, N-[5-[[[3-(dimethylamino)propyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]-2-[[[4-(formylamino)-1-methyl-1H-pyrrol-2-yl]carbonyl]amino]-5-isopropyl-1,3-thiazole-4-carboxamide was prepared and shown to inhibit the growth of microorganisms, e.g., MIC = 4.8 and > 152.4 μM against S. aureus and E. coli, resp.

SO PCT Int. Appl., 150 pp.

CODEN: PIXXD2
PY 2003
2003
2003
2003
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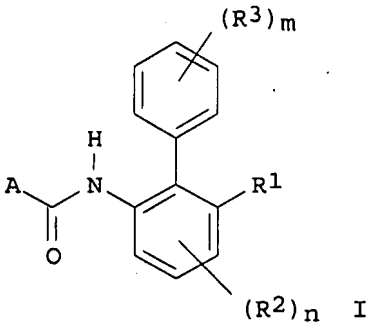
2007

L2 ANSWER 14 OF 42 MARPAT COPYRIGHT 2007 ACS on STN
TI Preparation of biphenyl moiety-containing heterocyclic compounds as agrochemical fungicides
IN Sakaguchi, Hiroshi
GI



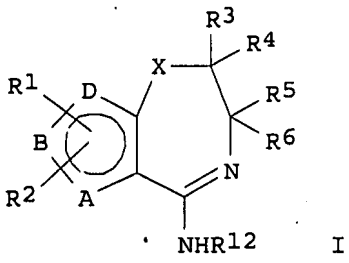
I

AB The title compds. I [R1 = alkyl, etc.; n = 0 - 3; R2 = F; m = 0 - 5; R3 = halo, alkyl, etc.; A = pyrazole moiety (generic structure given), etc.] are prepared N-(4'-Chloro-6-methylbiphenyl-2-yl)-1-methyl-3-trifluoromethyl-1H-pyrazole-4-carboxamide at 200 ppm gave complete control of Sphaerotheca fuliginea on cucumber.
SO Jpn. Kokai Tokkyo Koho, 32 pp.
CODEN: JKXXAF
PY 2001

L2 ANSWER 15 OF 42 MARPAT COPYRIGHT 2007 ACS on STN
TI Recording sheets containing oxazole, iso-oxazole, oxazolidinone, oxazoline salt, morpholine, thiazole, thiazolidine, thiadiazole, and phenothiazine compounds
IN Malhotra, Shadi L.
AB The invention is directed to recording sheets particularly suitable for use in ink-jet printing processes. The recording sheet comprises a substrate and a material selected from the group consisting of oxazole compds., iso-oxazole compds., oxazolidinone compds., oxazoline salt compds., morpholine compds., thiazole compds., thiazolidine compds., thiadiazole compds., phenothiazine compds., and mixts. thereof. Also disclosed is a recording sheet which consists essentially of a substrate, ≥1 material selected from the group consisting of oxazole compds., iso-oxazole compds., oxazolidinone compds., oxazoline salt compds., morpholine compds., thiazole compds., thiazolidine compds., thiadiazole compds., phenothiazine compds., and mixts. thereof, an optional binder, an optional antistatic agent, an optional biocide, and an optional filler.
SO U.S., 29 pp., Cont.-in-part of U.S. 5,314,747.
CODEN: USXXAM
PY 2001
1994
1995
1995
1997

1999
1998

L2 ANSWER 16 OF 42 MARPAT COPYRIGHT 2007 ACS on STN
TI Preparation of 5,7-bicyclic amidine derivatives useful as nitric oxide synthase inhibitors
IN Cheshire, David; Connolly, Stephen; Cox, David; Hamley, Peter; Luker, Timothy; Mete, Antonio; Pimm, Austen; Stocks, Michael
GI

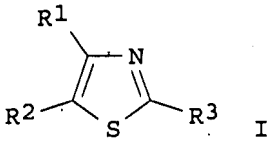


I

AB The title compds. I [A, B and D are independently selectev155d from C, N, O, and S, at least one of A, B and D being N, O or S, so as to form a 5-membered heterocyclic aromatic ring; X = CH2, NR7, O, SOm, etc.; R1, R2 = H, halo, alkyl, etc.; R3-R6 = H, alkyl, alkenyl, etc.; R12 = H, CO2R13], inhibitors of nitric oxide synthase, were prepared E.g., 2,3-dihydrothieno[2,3-f][1.4]thiazepin-5-ylamine hydrochloride was prepared
SO PCT Int. Appl., 93 pp.
CODEN: PIXXD2
PY 2000

L2 ANSWER 17 OF 42 MARPAT COPYRIGHT 2007 ACS on STN
TI Preparation of peptidomimetic oxazole and thiazole combinatorial libraries
IN Martin, Lenore M.; Hu, Bi-Huang
AB This invention utilizes synthetic heterocyclic amino acids containing thiazole and/or oxazole as building blocks in a solid phase combinatorial synthesis to yield natural and unnatural antibiotic compds. Thus, 2-(Fmoc-aminomethyl)thiazole-4-carboxylic acid (A), 2-(Fmoc-aminomethyl)oxazole-4-carboxylic acid (B), and 2-[(2'-Fmoc-aminomethyl)oxazole-4'-yl]thiazole-4-carboxylic acid (C) (Fmoc = fluorenylmethoxycarbonyl) were prepared Thus, a library of peptides Ac-X-G-X'-NH(CH2)3NH2 (X, X' are the amino acids A, B, or C and G is glycine) was prepared and individual compds. assayed for antibacterial activity.
SO PCT Int. Appl., 75 pp.
CODEN: PIXXD2
PY 2000
2000
2002
2002
2006

L2 ANSWER 18 OF 42 MARPAT COPYRIGHT 2007 ACS on STN
TI Electrophotographic photoreceptor and process cartridge and electrophotographic apparatus using same
IN Ikesue, Tatsuya
GI



I

AB The title photoreceptor possesses, on a support, a photosensitive layer containing a thiazole derivative I (R1-3 = H, OH, halo, organic group, ≥1 of R1-3 is alkyl, allyl, amino, carbonyl, halo, diazo, silyl, sulfide or aromatic or heterocyclic group). The photoreceptor may possesses a photosensitive layer and a protective layer containing the compound on a conductive support. A process cartridge including the photoreceptor and ≥1 selected from charging, developing, and cleaning means and an electrophotog. apparatus including the photoreceptor and charging, imagewise exposing, developing and transferring means are also claimed. The photoreceptor shows high photosensitivity and improved durability in repeated use.

SO Jpn. Kokai Tokkyo Koho, 13 pp.
CODEN: JKXXAF

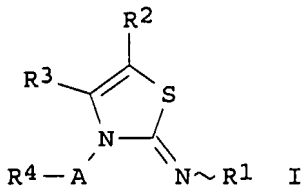
PY 2000

L2 ANSWER 19 OF 42 MARPAT COPYRIGHT 2007 ACS on STN

TI Substituted 2-iminothiazolines and their use as herbicides

IN Muller, Klaus-Helmut; Drewes, Mark Wilhelm; Feucht, Dieter; Pontzen, Rolf; Wetcholowsky, Ingo

GI



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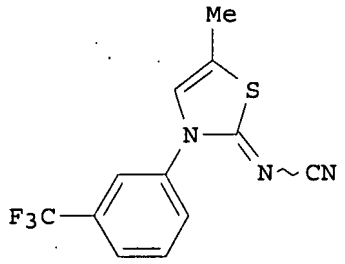

II

AB The invention relates to novel substituted 2-iminothiazolines I [wherein A = bond or (un)substituted alkanediyl (alkylene); R1 = NO2, cyano, thiocarbamoyl, nitroalkyl, cyanoalkyl, thiocarbamoylalkyl, or formylalkyl; R2 = H, cyano, CO2H, carbamoyl, thiocarbamoyl, halo, or (un)substituted alkyl or alkoxycarbonyl; R3 = H, cyano, CO2H, carbamoyl, thiocarbamoyl, halo, or (un)substituted alkyl or alkoxycarbonyl; R4 = H, cyano, halo, alkoxy, or (un)substituted cycloalkyl, aryl, or heterocyclyl; including the possible E- and Z-isomers]. The invention also relates to methods for producing I, and to their use as herbicides. The compds. show strong herbicidal and, to some extent, pesticidal (especially insecticidal) activity (no data). For instance, 2-imino-5-methyl-3-[3-(trifluoromethyl)phenyl]-4-thiazoline hydrochloride was treated with BrCN and Et3N in EtOAc at 20-25° to give 84% title compound II. The latter was said to show good pre- and postemergence activity against various weeds, with selectivity toward cotton, barley, and/or wheat.

SO PCT Int. Appl., 43 pp.
CODEN: PIXXD2

PY 2000
2000

L2 ANSWER 20 OF 42 MARPAT COPYRIGHT 2007 ACS on STN
TI Manufacture of silver halide photographic emulsion with improved sensitivity
IN Brennecke, Detlef; Borst, Hans-Ulrich; Willsau, Johannes; Buescher, Ralf; Bell, Peter; Siegel, Joerg; Kapitza, Detlev
AB The title manufacture is carried out in the presence of 5-membered heterocyclic compound like 1,2,4-triazole derivative, thiadiazole derivative, tetrazole derivative, thiazole derivative, 1,2,3-triazole derivative, or oxadiazole derivative, wherein the heterocyclic compound is free from -SH, -SR, -SSO2H or -SSO2R substituent (R = alkyl, alkenyl, aryl). The photog. film using the above emulsion shows improved sensitivity without increasing fog.
SO Ger. Offen., 8 pp.
CODEN: GWXXBX
PY 2000

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